Clean Copy of Pending Claims

1. A compound of formula (I) or a pharmaceutically acceptable salt or solvate thereof,

$$R^3$$
 R^4
 R^5
 R^1
 R^6
 R^6
 R^6

(1)

wherein R², R³, R⁴, and R⁵ are independently H, halogen, -OH, -C₁₋₃alkyl, -C₁₋₃alkoxy, -SC₁₋₂alkyl, or -CF₃, with the proviso that at least 2 of R², R³, R⁴, and R⁵ are H; R⁶ is H or -CH₃;

 R^{1} is $-S(O)_{n}R^{7}$ where n is 1 or 2, $-S(O)_{2}NHR^{8}$, $-C(O)R^{9}$, $-NR^{14}R^{15}$, $-C(R^{17})=NOR^{16}$,

or a 5, 6, or 7 membered heteroalkyl or heteroaryl group optionally substituted with 1 or two groups selected from the group consisting of the following substituents for carbon: C₁₋₃alkyl, -CH₂CF₃, -CF₃, F, Cl, C₁₋₂alkoxy, C₁₋₂thioalkyl, and the following substituents for nitrogen: C₁₋₃alkyl and -CH₂C₁₋₂fluoroalkyl;

R⁷ is C₁₋₃alkyl or C₁₋₂fluoroalkyl;

 R^8 is C1-3alkyl or -CH2C1-2fluoroalkyl;

R⁹ is C₁₋₃alkyl optionally substituted with 1-3 fluorine atoms, -NR¹⁰R¹¹, -NHNR¹²R¹³, CH₂SO₂CH₃,

$$-N$$
, $-N$, or $-N$, or

R¹⁰ is H or C1-2alkyl;

R¹¹ is H, cyclopropyl, cyclopropylmethyl, C₃-6alkenyl with the proviso that any unsaturation is not adjacent to the depicted nitrogen, or C₁-6alkyl optionally substituted with hydroxy, C₁-3alkoxy, or 1-3 fluorine atoms with the proviso that the carbon atom in R¹¹ that is bonded to the depicted nitrogen is not bonded to either a fluorine or an oxygen;

R¹² is H or C₁-2alkyl:

R¹³ is H, C₃-5cycloalkyl, cyclopropylmethyl, -SO₂CH₃, -C(O)CH₃, C₃-6alkenyl with the proviso that any unsaturation is not adjacent to the depicted nitrogen, or C₁-6alkyl optionally substituted with hydroxy, C₁-3alkoxy, or 1-3 fluorine atoms with the proviso that the carbon atom in R¹³ that is bonded to the depicted nitrogen is not bonded to either a fluorine or an oxygen,;

R¹⁴ is H or C1-2alkyl;

R¹⁵ is C₃-5cycloalkyl, cyclopropylmethyl, C₃-6alkenyl with the proviso that any unsaturation is not adjacent to the depicted nitrogen, or C₁-6alkyl optionally substituted with hydroxy, C₁-3alkoxy, or 1-3 fluorine atoms with the proviso that the carbon atom in R¹⁵ that is bonded to the depicted nitrogen is not bonded to either a fluorine or an oxygen;

R¹⁶ is C1-2alkyl;

R¹⁷ is H or C₁-3alkyl;

R²⁰ is H; and

R¹⁸, R¹⁹, R²¹, and R²² are independently H, halogen, hydroxy, C₁₋₃alkyl, C₁₋₃alkoxy, -SC₁₋₂alkyl, or -CF₃ with the proviso that at least one of R¹⁸, R¹⁹, R²¹, or R²² is other than H.

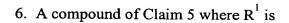
- 2. A compound of Claim 1 wherein R², R³, and R⁵ are H or F.
- 3. (AMENDED) A compound of Claim 2 wherein R⁴ = H, F, Cl, -OCH₃, or -CH₃.

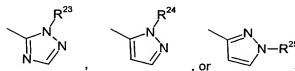
4. (AMENDED) A compound of Claim 3 wherein R⁶ is H.

5. (AMENDED) A compound of Claim 4 wherein R¹ is -S(O)_nR⁷, S(O)₂NHR⁸,

$$R^{23}$$
 R^{24} N N N N N N N N

where R^{23} is H, C1-3alkyl, or 2,2,2-trifluoroethyl, R^{24} is H, C1-3alkyl, or 2,2,2-trifluoroethyl, and R^{25} is H, methyl, or ethyl.





where R^{23} is isopropyl or 2,2,2-trifluoroethyl, R^{24} is methyl or ethyl, and R^{25} is methyl, or ethyl.

- 7. A compound of Claim 5 wherein R¹ S(O)₂NHR⁸.
- 8. A compound of Claim 7 wherein R⁸ is CH₃.
- 9. A compound of Claim 5 wherein R¹ is -S(O)_nR⁷.
- 10. A compound of Claim 9 wherein n is 2 and κ^7 is CH₃.
- 11. A compound of Claim 1 selected from the group consisting of

2-[(4,5-dihydro-1H-imidazol-2-ylmethyl)amino]-N-propylbenzamide,

N-cyclopropyl-2-[(4,5-dihydro-1H-imidazol-2-ylmethyl)amino]benzamide,

2-[(4,5-dihydro-1H-imidazol-2-ylmethyl)amino]-N-methylbenzamide,

{2-[(4,5-dihydro-1H-imidazol-2-ylmethyl)amino]phenyl}(4-morpholinyl)methanone,

2-[(4,5-dihydro-1H-imidazol-2-ylmethyl)amino]-N,N-diethylbenzamide,

2-[(4,5-dihydro-1H-imidazol-2-ylmethyl)amino]-N-ethyl-N-methylbenzamide,

2-[(4,5-dihydro-1H-imidazol-2-ylmethyl)amino]-N-methyl-N-propylbenzamide,

N-(4,5-dihydro-1H-imidazol-2-ylmethyl)-2-(1,3-oxazol-5-yl)aniline,

1-{2-[(4,5-dihydro-1H-imidazol-2-ylmethyl)amino]phenyl}-1-ethanone,

N-(4,5-dihydro-1H-imidazol-2-ylmethyl)-2-(2-pyrazinyl)aniline,

N-(4,5-dihydro-1H-imidazol-2-ylmethyl)-2-(2-methyl-1,3-thiazol-4-yl)aniline,

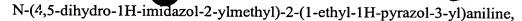
N-(4,5-dihydro-1H-imidazol-2-ylmethyl)-2-(1-methyl-1H-pyrazol-3-yl)aniline,

N-(4,5-dihydro-1H-imidazol-2-ylmethyl)-2-(1-methyl-1H-pyrazol-5-yl)aniline,

N-(4,5-dihydro-1H-imidazol-2-ylmethyl)-2-(methylsulfonyl)aniline,

2-[(4,5-dihydro-1H-imidazol-2-ylmethyl)amino]-N-methylbenzenesulfonamide,

N-(4,5-dihydro-1H-imidazol-2-ylmethyl)-2-(1-methyl-1H-pyrrol-2-yl)aniline,



N-(4,5-dihydro-1H-imidazol-2-ylmethyl)-2-(1-ethyl-1H-pyrazol-5-yl)aniline,

2-[(4,5-dihydro-1H-imidazol-2-ylmethyl)amino]-N-ethylbenzenesulfonamide,

N-(4,5-dihydro-1H-imidazol-2-ylmethyl)-2-(1-ethyl-1H-pyrrol-2-yl)aniline,

N-(4,5-dihydro-1H-imidazol-2-ylmethyl)-2-[1-(2,2,2-trifluoroethyl)-1H-1,2,4-triazol-5-yl]aniline,

N-(4,5-dihydro-1H-imidazol-2-ylmethyl)-2-(ethylsulfonyl)aniline,

N-(4,5-dihydro-1H-imidazol-2-ylmethyl)-5-fluoro-2-(methylsulfonyl)aniline,

N-(4,5-dihydro-1H-imidazol-2-ylmethyl)-5-chloro-2-(methylsulfonyl)aniline,

N-(4,5-dihydro-1H-imidazol-2-ylmethyl)-5-methyl-2-(methylsulfonyl)aniline,

N-(4,5-dihydro-1H-imidazol-2-ylmethyl)-5-methoxy-2-(methylsulfonyl)aniline,

N-(4,5-dihydro-1H-imidazol-2-ylmethyl)-2-[1-isopropyl-1H-1,2,4-triazol-5-yl]aniline, and pharmaceutically acceptable salts and solvates thereof.

12. A compound of Claim 1 selected from the group consisting of N-(4,5-dihydro-1H-imidazol-2-ylmethyl)-5-fluoro-2-(methylsulfonyl)aniline, N-(4,5-dihydro-1H-imidazol-2-ylmethyl)-2-(1-ethyl-1H-pyrazol-5-yl)aniline, 2-[(4,5-dihydro-1H-imidazol-2-ylmethyl)amino]-N-methylbenzenesulfonamide, N-(4,5-dihydro-1H-imidazol-2-ylmethyl)-2-[1-(2,2,2-trifluoroethyl)-1H-1,2,4-triazol-5-yl]aniline,

N-(4,5-dihydro-1H-imidazol-2-ylmethyl)-2-(methylsulfonyl)aniline, and pharmaceutically acceptable salts and solvates thereof.

- 13. A compound of Claim 1 selected from the group consisting of N-(4,5-dihydro-1H-imidazol-2-ylmethyl)-2-(methylsulfonyl)aniline and pharmaceutically acceptable salts and solvates thereof.
- 14. (AMENDED) A compound of Claim 1 wherein said compound is an alpha-1A agonist.

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15. (AMENDED) A method for prevention or treatment of an alpha-1A mediated disease or condition comprising administration of a therapeutically effective amount of a compound of claim 14.

- 16. The method of Claim 15 wherein said disease or condition is urinary incontinence, nasal congestion, priapism, depression, anxiety, dementia, senility, Alzheimer's, deficiencies in attentiveness and cognition, and eating disorders such as obesity, bulimia, or anorexia.
- 17. The method of Claim 15 wherein said disease or condition is urinary incontinence.

19. (AMENDED) A pharmaceutical composition comprising a therapeutically effective amount of a compound of Claim 1.

- 20. A pharmaceutical composition according to Claim 19 further comprising a pharmaceutically acceptable diluent or carrier.
- 24. (AMENDED) A process for preparing a compound as claimed in claim 1 which comprises reacting a compound of formula II:

$$R^3$$
 R^4
 R^5
 R^1
 R^1
 R^1
 R^1
 R^1
 R^2
 R^1
 R^1
 R^2
 R^1
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 R^2
 R^2
 R^2
 R^3
 R^3
 R^3
 R^4
 R^4
 R^5

with a compound of formula III:

25. A process as claimed in Claim 24 wherein the reaction is carried out at a pH in the range of from 3.0 to 4.0.



26. (AMENDED) A process as claimed in Claim 25 wherein the reaction is run in a protic solvent.

- 27. A process as claimed in Claim 26 wherein said protic solvent is selected from the group consisting of methanol, ethanol, methoxyethanol, isopropanol, butanol, and phenol.
 - 28. A process as claimed in Claim 27 wherein the protic solvent is 2-butanol.

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29. (AMENDED) A process as claimed in claim 28 wherein the reaction is run at a temperature or temperatures of from 80 to 140°C.